

# Appendix A

## Claim Amendments

# 1. (Currently amended) A compound Compounds of formula 1

$$R9$$
 $N-N$ 
 $R3$ 
 $R2$ 
 $R1$ 
 $R9$ 
 $(1)$ 

in which

R1 and R2 represent independently from one another hydrogen or 1-4C-alkyl, or R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a group selected from

R3 represents a phenyl derivative of formulae (a) or (b)

wherein

R4 is 1-4C-alkoxy or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R5 is 1-8C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R6 is 1-4C-alkoxy, 3-5C-cycloalkoxy, 3-5C-cycloalkylmethoxy, or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R7 is 1-4C-alkyl and

R8 is hydrogen or 1-4C-alkyl,

or wherein

R7 and R8 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulphur sulfur atom,

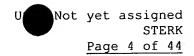
R9 is Aryll, Aryl2 substituted by R10 and R11,  $-(CH_2)_n$ -C(O)-R12,  $-C(O)-(CH_2)_m-R13$ ,  $-(CH_2)_p-R14$  or  $-Y-(CH_2)_q-Z-(CH_2)_r-R16$ ,

wherein

Aryll is naphthyl, pyrazinyl, pyridazinyl, pyrimidin-4-yl, pyrimidin-5-yl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, isothiazolyl, pyrrolyl, pyrazolyl or thiophenyl,

Aryl2 is naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R10 is halogen, nitro, cyano, carboxyl, 1-4C-alkyl, trifluoromethyl, 1-4C-alkoxy, 1-4C-alkoxy which is completely or predominantly substituted by fluorine, 1-



4C-alkoxycarbonyl, amino, mono-or di-1-4C-alkylamino, aminocarbonyl 1-4C-alkylcarbonylamino or mono-or di-1-4C-alkylaminocarbonyl,

R11 is hydrogen, halogen, amino, nitro, 1-4C-alkyl or 1-4C-alkoxy,

R12 is 4H-benzo[1,4]oxazin-3-one-6-yl, Aryl2 or Aryl2 substituted by R10 and R11,

R13 is 1-4C-alkoxy, phenoxy, napthalenoxy or 2-oxo-1,2-dihydro-quinolin-6-yloxy,

R14 is Aryl3, Aryl2 substituted by R10 and R11, phenyl substituted by R15,

#### wherein

Aryl3 is naphthyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzothiazolyl, benzimidazolyl, benzoxazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl thiophenyl,

R15 is purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl,

oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R16 is hydrogen, hydroxyl, 1-4C-alkoxy, hydroxy-2-4C-alkoxy, 1-4C-alkoxy-2-4C-alkoxy, mono- or di-1-4C-dialkylamino, 1-4C-alkoxycarbonyl, amino, aminocarbonyl, mono- or di-1-4C-alkylaminocarbonyl, 1-4C-alkylcarbonyl, 1-4C-alkylcarbonyl, 1-4C-alkylcarbonylamino or -N(H)-C(O)-N(R18)R19,

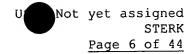
Y represents a bond or -C(0)-,

Z represents a bond, -O-, -C(O)-, -C(O)-N(H)-, -N(H)-C(O)-, -N(R17)-, -S- or  $-S(O)_2-$ ,

R17 is hydrogen or 1-4C-alkyl,

R18 and R19 are independent from each other hydrogen or 1-4C-alkyl, or R18 and R19 together, and with inclusion of the nitrogen atom to which they are bonded, form a 4-morpholinyl-, 1-pyrrolidinyl-, 1-piperidinyl-, 1-hexahydroazepino- or a 1-piperazinyl-ring,

- n is an integer from 1 to 4,
- m is an integer from 1 to 4,
- p is an integer from 1 to 4,
- q is an integer from 1 to 4,
- r is an integer from 1 to 4,



or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof,

## and the salts of these compounds -

with the proviso that all those compounds of formula 1 are excluded in which Y and Z both represent a bond and simultaneously R16 is hydrogen, aminocarbonyl or mono- or di-1-4C-alkyl-aminocarbonyl, or in which Y represents - C(0)-, Z represents a bond and simultaneously R16 is hydrogen, amino or mono- or di-1-4C-alkylamino — for use in the treatment of diseases.

2. (Currently amended) A compound Compounds of formula 1 according to claim 1 selected from the group consisting of (4aS,8aR)-2-{1-[3-(2-Amino-ethylsulfanyl)-propanoyl]-piperidin-4-yl}-4-(3,4-dimethoxy-phenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one,

(4aS,8aR)-2-{1-[3-(2-Amino-ethylsulfonyl)-propanoyl]-piperidin-4-yl}-4-(3,4-dimethoxy-phenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one,

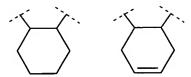
(4aS,8aR)-2-{1-[2-(2-Amino-ethoxy)-ethyl]-piperidin-4-yl}-4-(3,4-dimethoxy-phenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one,

and hydrates, solvates, salts, hydrates of the salts and solvates of the salts thereof and the salts of these compounds for use in the treatment of diseases.

- (Canceled)
- 4. (Currently amended) A compound Compounds of formula 1

in which

R1 and R2 represent independently from one another hydrogen or 1-4C-alkyl, or R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a group selected from



R3 represents a phenyl derivative of formulae (a) or (b)

wherein

R4 is 1-4C-alkoxy or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R5 is 1-8C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R6 is 1-4C-alkoxy, 3-5C-cycloalkoxy, 3-5C-cycloalkylmethoxy, or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R7 is 1-4C-alkyl and

R8 is hydrogen or 1-4C-alkyl,

or wherein

R7 and R8 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a spiro-linked

5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulphur sulfur atom,

R9 is Aryll, Aryl2 substituted by R10 and R11,  $-(CH_2)_n-C(O)-R12$ ,  $-C(O)-(CH_2)_m-R13$ ,  $-(CH_2)_p-R14$  or  $-Y-(CH_2)_q-Z-(CH_2)_r-R16$ ,

#### wherein

Aryll is naphthyl, pyrazinyl, pyridazinyl, pyrimidin-4-yl, pyrimidin-5-yl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, isothiazolyl, pyrrolyl, pyrazolyl or thiophenyl,

Aryl2 is naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R10 is halogen, nitro, cyano, carboxyl, 1-4C-alkyl, trifluoromethyl, 1-4C-alkoxy, 1-4C-alkoxy which is completely or predominantly substituted by fluorine, 1-4C-alkoxycarbonyl, amino, mono-or di-1-4C-alkylamino, aminocarbonyl 1-4C-alkylcarbonylamino or mono-or di-1-4C-alkylaminocarbonyl,

R11 is hydrogen, halogen, amino, nitro, 1-4C-alkyl or 1-4C-alkoxy,

R12 is 4H-benzo[1,4]oxazin-3-one-6-yl, Aryl2 or Aryl2 substituted by R10 and R11,

R13 is 1-4C-alkoxy, phenoxy, napthalenoxy or 2-oxo-1,2-dihydro-quinolin-6-yloxy,

R14 is Aryl 3, Aryl2 substituted by R10 and R11, phenyl substituted by R15,

#### wherein

Aryl3 is naphthyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl,

imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R15 is purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R16 is hydrogen, hydroxyl, 1-4C-alkoxy, hydroxy-2-4C-alkoxy, 1-4C-alkoxy-2-4C-alkoxy, mono- or di-1-4C-dialkylamino, 1-4C-alkoxycarbonyl, aminocarbonyl, mono- or di-1-4C-alkylaminocarbonyl, 1-4C-alkylcarbonyl, 1-4C-alkylcarbonyl, 1-4C-alkylcarbonylamino or -N(H)-C(O)-N(R18)R19,

Y represents a bond or -C(0)-,

Z represents a bond, -O-, -C(O)-, -C(O)-N(H)-, -N(H)-C(O)-, -N(R17)-, -S- or  $-S(O)_2-$ ,

R17 is hydrogen or 1-4C-alkyl,

R18 and R19 are independent from each other hydrogen or 1-4C-alkyl, or R18 and R19 together, and with inclusion of the nitrogen atom to which they are bonded, form a 4-morpholinyl-, 1-pyrrolidinyl-, 1-piperidinyl-, 1-hexahydroazepino- or a 1-piperazinyl-ring,

n is an integer from 1 to 4,

m is an integer from 1 to 4,

p is an integer from 1 to 4,

q is an integer from 1 to 4,

r is an integer from 1 to 4,

or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof,

## and the salts of these compounds -

with the proviso that all those compounds of formula 1 are excluded in which Y and Z both represent a bond and simultaneously R16 is hydrogen, aminocarbonyl or mono- or di-1-4C-alkyl-aminocarbonyl, or in which Y represents - C(O)-, Z represents a bond and simultaneously R16 is hydrogen or mono- or -di-1-4C-alkylamino.

5. (Currently amended)  $\underline{A}$  compound  $\underline{Compounds}$  of formula 1 according to claim 4, in which

R1 and R2 represent independently from one another hydrogen or 1-4C-alkyl, or R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a group selected from

R3 represents a phenyl derivative of formulae (a) or (b)

wherein

R4 is 1-2C-alkoxy or 1-2C-alkoxy which is completely or predominantly substituted by fluorine,

R5 is 1-4C-alkoxy,

R6 is 1-2C-alkoxy or 1-2C-alkoxy which is completely or predominantly substituted by fluorine,

R7 is methyl and

R8 is hydrogen,

or wherein

R7 and R8 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a spiro-linked cyclopentane, cyclohexane, tetrahydrofurane or tetrahydropyran ring,

R9 is Aryll, Aryl2 substituted by R10 and R11,  $-(CH_2)_n-C(O)-R12$ ,  $-C(O)-(CH_2)_m-R13$ ,  $-(CH_2)_p-R14$  or  $-Y-(CH_2)_q-Z-(CH_2)_r-R16$ ,

### wherein

Aryll is pyrimidin-4-yl, pyrimidin-5-yl, quinazolinyl, quinolyl, isoquinolyl, indolyl, indazolyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, isothiazolyl, pyrrolyl, pyrazolyl or thiophenyl,

Aryl2 is pyridyl, pyrimidinyl, quinazolinyl, quinolyl, isoquinolyl, indazolyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R10 is halogen, nitro, cyano, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, amino, mono-or di-1-4C-alkylamino, aminocarbonyl, 1-4C-alkylcarbonylamino or mono-or di-1-4C-alkylaminocarbonyl,

R11 is hydrogen, halogen, 1-4C-alkyl or 1-4C-alkoxy,
R12 is 4H-benzo[1,4]oxazin-3-one-6-yl, Aryl2 or Aryl2
substituted by R10 and R11,

R13 is phenoxy, napthalenoxy or 2-oxo-1,2-dihydro-quinolin-6-yloxy,

R14 is Aryl 3, Aryl2 substituted by R10 and R11, phenyl substituted by R15,

### wherein

Aryl3 is pyrimidinyl, quinazolinyl, quinolyl, isoquinolyl, indazolyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R15 is purinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, furanyl or thiophenyl,

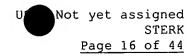
Y represents a bond or -C(0)-,

Z represents a bond, -0-, -S- or  $-S(0)_2-$ ,

R16 is hydrogen, hydroxyl, 1-4C-alkoxy, hydroxy-2-4C-alkoxy, 1-4C-alkoxy-2-4C-alkoxy or -N(H)-C(O)-N(R18)R19, wherein

R18 and R19 are independent from each other hydrogen or 1-4C-alkyl, or R18 and R19 together, and with inclusion of the nitrogen atom to which they are bonded, form a 4-morpholinyl-, 1-pyrrolidinyl- or 1-piperidinyl -ring,

- n is an integer from 1 to 2,
- m is an integer from 1 to 3,
- p is an integer from 1 to 2,



q is an integer from 1 to 3,

r is an integer from 1 to 2,

or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof,

and the salts of these-compounds -

with the proviso that all those compounds of formula 1 are excluded in which Y and Z both represent a bond and simultaneously R16 is hydrogen, or in which Y represents - C(O)-, Z represents a bond and simultaneously R16 is hydrogen.

6. (Currently amended)  $\underline{A}$  compound  $\underline{Compounds}$  of formula 1 according to claim 4 in which

R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a group selected from

R3 represents a benzene derivative of formulae (a) or (b)

wherein

R4 is 1-2C-alkoxy,

R5 is 1-4C-alkoxy,

R6 is 1-2C-alkoxy,

R7 is methyl and

R8 is hydrogen,

R9 is  $-(CH_2)_n-C(O)-R12$ ,  $-C(O)-(CH_2)_m-R13$ ,  $-(CH_2)_p-R14$  or  $-Y-(CH_2)_q-Z-(CH_2)_r-R16$ ,

wherein

R12 is 4H-benzo[1,4]oxazin-3-one-6-yl or benzofuran-2-yl,

R13 is 2-oxo-1,2-dihydro-quinolin-6-yloxy,

R14 is phenyl substituted by R15,

wherein

R15 is benzimidazolyl,

Y represents a bond or -C(0)-,

Z represents a bond, -O-, -S- or  $-S(O)_2-$ ,

R16 is hydrogen, hydroxyl, methoxy, hydroxyethoxy, methoxyethoxy or -N(H)-C(O)-N(R18)R19,

### wherein

R18 and R19 together, and with inclusion of the nitrogen atom to which they are bonded, form a 4-morpholinyl-ring,

n is 1,

m is an integer from 1 to 3,

p is 1,

q is an integer from 1 to 2,

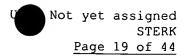
r is an integer from 1 to 2,

or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof,

## and the salts of these compounds -

with the proviso that all those compounds of formula 1 are excluded in which Y and Z both represent a bond and simultaneously R16 is hydrogen, or in which Y represents - C(0)-, Z represents a bond and simultaneously R16 is hydrogen.

7. (Currently amended)  $\underline{A}$  compound  $\underline{Compounds}$  of formula 1 according to claim 4 in which



R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form the following group

R3 represents a phenyl derivative of formula (a)

wherein

R4 is methoxy,

R5 is methoxy,

is 2-(methanesulfonyl)ethanoyl, 2-benzofuran-2-yl-2-oxo-ethyl, 4-benzimidazol-1-ylbenzyl, 2-(4H-benzo[1,4]oxazin-3-one-6-yl)ethanoyl, 3-{2-[(1-morpholin-4-yl-methanoyl)-amino]-ethanesulfonyl}-propionyl, 2-(2-oxo-1,2-dihydroquinolin-6-yloxy)ethanoyl, 4-(2-oxo-1,2-dihydroquinolin-6-yloxy)butanoyl, 2-methoxyethyl, 2-methylsulfanylethyl, 2-methanesulfonylethyl or 2-(2-hydroxy-ethoxy)ethyl,

or a hydrate, solvate, salt, hydrate of a salt or solvate
of a salt thereof

and the salts of these compounds.

8. (Currently amended) A compound Compounds of formula 1,

in which

R1 and R2 represent independently from one another hydrogen or 1-4C-alkyl, or R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a group selected from

R3 represents a phenyl derivative of formulae (a) or (b)

wherein

R4 is 1-4C-alkoxy or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R5 is 1-8C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R6 is 1-4C-alkoxy, 3-5C-cycloalkoxy, 3-5C-cycloalkylmethoxy, or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R7 is 1-4C-alkyl and

R8 is hydrogen or 1-4C-alkyl,

or wherein

R7 and R8 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulphur sulfur atom,

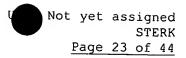
R9 is Aryll, Aryl2 substituted by R10 and R11,  $-(CH_2)_n-C(O)-R12$ ,  $-C(O)-(CH_2)_m-R13$ ,  $-(CH_2)_p-R14$  or  $-Y-(CH_2)_q-Z-(CH_2)_r-R16$ ,

wherein

Aryll is naphthyl, pyrazinyl, pyridazinyl, pyrimidin-4-yl, pyrimidin-5-yl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, isothiazolyl, pyrrolyl, pyrazolyl or thiophenyl,

Aryl2 is naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R10 is halogen, nitro, cyano, carboxyl, 1-4C-alkyl, trifluoromethyl, 1-4C-alkoxy, 1-4C-alkoxy which is completely or predominantly substituted by fluorine, 1-



4C-alkoxycarbonyl, amino, mono-or di-1-4C-alkylamino, aminocarbonyl 1-4C-alkylcarbonylamino or mono-or di-1-4C-alkylaminocarbonyl,

R11 is hydrogen, halogen, amino, nitro, 1-4C-alkyl or 1-4C-alkoxy,

R12 is 4H-benzo[1,4]oxazin-3-one-6-yl, Aryl2 or Aryl2 substituted by R10 and R11,

R13 is 1-4C-alkoxy, phenoxy, napthalenoxy or 2-oxo-1,2-dihydro-quinolin-6-yloxy,

R14 is Aryl 3, Aryl2 substituted by R10 and R11, phenyl substituted by R15,

#### wherein

is naphthyl, pyrazinyl, pyridazinyl, Aryl3 pyrimidinyl, quinazolinyl, quinoxalinyl, cinnolinyl, isoquinolyl, phthalazinyl, quinolyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzothiazolyl, benzimidazolyl, benzoxazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R15 is purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl,

oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R16 is hydrogen, hydroxyl, 1-4C-alkoxy, hydroxy-2-4C-alkoxy, 1-4C-alkoxy-1-4C-alkoxy, mono- or di-1-4C-dialkylamino, 1-4C-alkoxycarbonyl, amino, aminocarbonyl, mono- or di-1-4C-alkylaminocarbonyl, 1-4C-alkylcarbonyl, 1-4C-alkylcarbonyl, 1-4C-alkylcarbonylamino or -N(H)-C(O)-N(R18)R19,

Y represents a bond or -C(0)-,

Z represents a bond, -O-, -C(O)-, -C(O)-N(H)-, -N(H)-C(O)-, -N(R17)-, -S- or  $-S(O)_2-$ ,

R17 is hydrogen or 1-4C-alkyl,

R18 and R19 are independent from each other hydrogen or 1-4C-alkyl, or R18 and R19 together, and with inclusion of the nitrogen atom to which they are bonded, form a 4-morpholinyl-, 1-pyrrolidinyl-, 1-piperidinyl-, 1-hexahydroazepino- or a 1-piperazinyl-ring,

- n is an integer from 1 to 4,
- m is an integer from 1 to 4,
- p is an integer from 1 to 4,
- q is an integer from 1 to 4,
- r is an integer from 1 to 4,

or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof,

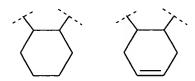
and the salts of these compounds -

with the proviso that all those compounds of formula 1 are excluded in which Y and Z both represent a bond and R16 is hydrogen — for use in the treatment of diseases.

- 9. (Canceled)
- 10. (Currently amended) A compound Compounds of formula 1

in which

R1 and R2 represent independently from one another hydrogen or 1-4C-alkyl, or R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a group selected from



R3 represents a phenyl derivative of formulae (a) or (b)

wherein

R4 is 1-4C-alkoxy or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R5 is 1-8C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R6 is 1-4C-alkoxy, 3-5C-cycloalkoxy, 3-5C-cycloalkylmethoxy, or 1-4C-alkoxy which is completely or predominantly substituted by fluorine,

R7 is 1-4C-alkyl and

R8 is hydrogen or 1-4C-alkyl,

or wherein

R7 and R8 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulphur sulfur atom,

,

R9 is Aryll, Aryl2 substituted by R10 and R11,  $-(CH_2)_n$ -C(O)-R12,  $-C(O)-(CH_2)_m$ -R13,  $-(CH_2)_p$ -R14 or  $-Y-(CH_2)_q$ - $Z-(CH_2)_r$ -R16,

## wherein

Aryll is naphthyl, pyrazinyl, pyridazinyl, pyrimidin-4-yl, pyrimidin-5-yl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, isothiazolyl, pyrrolyl, pyrazolyl or thiophenyl,

Aryl2 is naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl,

isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R10 is halogen, nitro, cyano, carboxyl, 1-4C-alkyl, trifluoromethyl, 1-4C-alkoxy, 1-4C-alkoxy which is completely or predominantly substituted by fluorine, 1-4C-alkoxycarbonyl, amino, mono-or di-1-4C-alkylamino, aminocarbonyl 1-4C-alkylcarbonylamino or mono-or di-1-4C-alkylaminocarbonyl,

R11 is hydrogen, halogen, amino, nitro, 1-4C-alkyl or 1-4C-alkoxy,

R12 is 4H-benzo[1,4]oxazin-3-one-6-yl, Aryl2 or Aryl2 substituted by R10 and R11,

R13 is 1-4C-alkoxy, phenoxy, napthalenoxy or 2-oxo-1,2-dihydro-quinolin-6-yloxy,

R14 is Aryl3, Aryl2 substituted by R10 and R11, phenyl substituted by R15,

#### wherein

Aryl3 is naphthyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, quinoxalinyl, cinnolinyl, quinolyl, isoquinolyl, phthalazinyl, indanyl, indolyl, isoindolyl, indazolyl, chromanyl, isochromanyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl,

oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R15 is purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R16 is hydrogen, hydroxyl, 1-4C-alkoxy, hydroxy-2-4C-alkoxy, 1-4C-alkoxy-1-4C-alkoxy, mono- or di-1-4C-dialkylamino, 1-4C-alkoxycarbonyl, aminocarbonyl, mono- or di-1-4C-alkylaminocarbonyl, 1-4C-alkylcarbonyl, 1-4C-alkylcarbonylamino or -N(H)-C(O)-N(R18)R19,

Y represents a bond or -C(0)-,

Z represents a bond, -O-, -C(O)-, -C(O)-N(H)-, -N(H)-C(O)-, -N(R17)-, -S- or  $-S(O)_2-$ ,

R17 is hydrogen or 1-4C-alkyl,

R18 and R19 are independent from each other hydrogen or 1-4C-alkyl, or R18 and R19 together, and with inclusion of the nitrogen atom to which they are bonded, form a 4-morpholinyl-, 1-pyrrolidinyl-, 1-piperidinyl-, 1-hexahydroazepino- or a 1-piperazinyl-ring,

n is an integer from 1 to 4,

m is an integer from 1 to 4,

p is an integer from 1 to 4,

q is an integer from 1 to 4,

r is an integer from 1 to 4,

or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof,

and the salts of these compounds -

with the proviso that all those compounds of formula 1 are excluded in which Y and Z both represent a bond and R16 is hydrogen.

11. (Currently amended) A compound Compounds of formula 1 according to claim 4 in which

R1 and R2 represent independently from one another hydrogen or 1-4C-alkyl, or R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a group selected from

R3 represents a phenyl derivative of formulae (a) or (b)

wherein

R4 is 1-2C-alkoxy or 1-2C-alkoxy which is completely or predominantly substituted by fluorine,

R5 is 1-4C-alkoxy,

R6 is 1-2C-alkoxy or 1-2C-alkoxy which is completely or predominantly substituted by fluorine,

R7 is methyl and

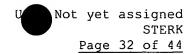
R8 is hydrogen,

or wherein

R7 and R8 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a spiro-linked cyclopentane, cyclohexane, tetrahydrofurane or tetrahydropyran ring,

R9 is Aryll, Aryl2 substituted by R10 and R11,  $-(CH_2)_n-C(O)-R12$ ,  $-C(O)-(CH_2)_m-R13$ ,  $-(CH_2)_p-R14$  or  $-Y-(CH_2)_q-Z-(CH_2)_r-R16$ ,

wherein



Aryll is pyrimidin-4-yl, pyrimidin-5-yl, quinazolinyl, quinolyl, isoquinolyl, indolyl, indazolyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, isothiazolyl, pyrrolyl, pyrazolyl or thiophenyl,

Aryl2 is pyridyl, pyrimidinyl, quinazolinyl, quinolyl, isoquinolyl, indolyl, indazolyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

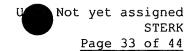
R10 is halogen, nitro, cyano, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, amino, mono-or di-1-4C-alkylamino, aminocarbonyl, 1-4C-alkylcarbonylamino or mono-or di-1-4C-alkylaminocarbonyl,

R11 is hydrogen, halogen, 1-4C-alkyl or 1-4C-alkoxy,
R12 is 4H-benzo[1,4]oxazin-3-one-6-yl, Aryl2 or Aryl2
substituted by R10 and R11,

R13 is phenoxy, napthalenoxy or 2-oxo-1,2-dihydro-quinolin-6-yloxy,

R14 is Aryl3, Aryl2 substituted by R10 and R11, phenyl substituted by R15,

wherein



Aryl3 is pyrimidinyl, quinazolinyl, quinolyl, isoquinolyl, indolyl, indazolyl, purinyl, pteridinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl or thiophenyl,

R15 is purinyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, furanyl or thiophenyl,

Y represents a bond or -C(0)-,

Z represents a bond, -0-, -S- or  $-S(0)_2-$ ,

R16 is hydrogen, hydroxyl, 1-4C-alkoxy, hydroxy-2-4C-alkoxy, 1-4C-alkoxy-1-4C-alkoxy or -N(H)-C(O)-N(R18)R19, wherein

R18 and R19 are independent from each other hydrogen or 1-4C-alkyl, or R18 and R19 together, and with inclusion of the nitrogen atom to which they are bonded, form a 4-morpholinyl-, 1-pyrrolidinyl- or 1-piperidinyl -ring,

- n is an integer from 1 to 2,
- m is an integer from 1 to 3,
- p is an integer from 1 to 2,
- q is an integer from 1 to 3,

r is an integer from 1 to 2,

or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof,

and the salts of these compounds -

with the proviso that all those compounds of formula 1 are excluded in which Y and Z both represent a bond and R16 is hydrogen.

12.(Currently amended) <u>A compound Compounds</u> of formula 1 according to claim 4 in which

R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form a group selected from

R3 represents a benzene derivative of formulae (a) or (b)

#### wherein

R4 is 1-2C-alkoxy,

R5 is 1-4C-alkoxy,

R6 is 1-2C-alkoxy,

R7 is methyl and

R8 is hydrogen,

R9 is  $-(CH_2)_n-C(O)-R12$ ,  $-C(O)-(CH_2)_m-R13$ ,  $-(CH_2)_p-R14$  or  $-Y-(CH_2)_q-Z-(CH_2)_r-R16$ ,

wherein

R12 is 4H-benzo[1,4]oxazin-3-one-6-yl or benzofuran-2-yl,

R13 is 2-oxo-1, 2-dihydro-quinolin-6-yloxy,

R14 is phenyl substituted by R15,

wherein

R15 is benzimidazolyl,

Y represents a bond or -C(0)-,

Z represents a bond, -0-, -S- or  $-S(0)_2-$ ,

R16 is hydrogen, hydroxyl, methoxy, hydroxyethoxy, methoxyethoxy or -N(H)-C(O)-N(R18)R19,

wherein

R18 and R19 together, and with inclusion of the nitrogen atom to which they are bonded, form a 4-morpholinyl-ring,

n is 1,

m is an integer from 1 to 3,

p is 1,

q is an integer from 1 to 3,

r is an integer from 1 to 2,

or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof,

and the salts of these compounds -

with the proviso that all those compounds of formula 1 are excluded in which Y and Z both represent a bond and R16 is hydrogen.

13. (Currently amended) A compound Compounds of formula 1 according to claim 4 in which

R1 and R2 together, and with inclusion of the two carbon atoms[[,]] to which they are bonded, form the following group



R3 represents a phenyl derivative of formula (a)

wherein

R4 is methoxy,

R5 is methoxy,

R9 is 2-(methanesulfonyl)ethanoyl, 2-benzofuran-2-yl-2-oxo-ethyl, 4-benzimidazol-1-ylbenzyl, 2-(4H-benzo[1,4]oxazin-3-one-6-yl)ethanoyl, 2-(2-oxo-1,2-dihydroquinolin-6-yloxy)ethanoyl, 4-(2-oxo-1,2-dihydroquinolin-6-yloxy)butanoyl, 2-methoxyethyl, 2-methylsulfanylethyl, 2-methanesulfonylethyl or 2-(2-hydroxy-ethoxy)ethyl,

or a hydrate, solvate, salt, hydrate of a salt or solvate
of a salt thereof

and the salts of these compounds.

14. (Currently amended) A compound Compounds of formula 1 according to claim 1 one of the claims 1 or 3-13, in which R1 and R2 together, and with inclusion of the two carbon

atoms[[,]] to which they are bonded, form a group selected
from

and in which the hydrogen atoms in the positions 4a and 8a are cis-configurated, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

15. (Currently amended) A compound Compounds of formula 1 according to claim 14 in which the absolute configuration (according to the rules of Cahn, Ingold and Prelog) is S in the position 4a and R in the position 8a, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

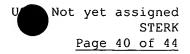
### 16. (Canceled)

17. (Currently amended) A pharmaceutical composition comprising Pharmaceutical compositions containing one or more compounds of formula 1 according to claim 1, 4 or 10

together with <u>a pharmaceutical auxiliary or excipient</u> the usual pharmaceutical auxiliaries and/or carrier materials.

## 18. (Canceled)

- 19. (Currently amended) A method for treating an illness treatable by the administration of a PDE4 inhibitor in a patient comprising administering to said patient in need thereof a therapeutically effective amount of a compound of formula 1 as claimed in claim 1, or a pharmaceutically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.
- 20. (Currently amended) A method for treating an illness treatable by the administration of a PDE4 inhibitor in a patient comprising administering to said patient in need thereof a therapeutically effective amount of a compound of formula 1 as claimed in claim 4, or a pharmaceutically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.
- 21. (Currently amended) A method for treating <u>an</u> airway <u>disorder</u> in a patient comprising administering to



said patient <u>in need thereof</u> a therapeutically effective amount of a compound of formula 1 as claimed in claim 1, or a pharmaceutically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

- 22. (Currently amended) A method for treating <u>an</u> airway <u>disorder</u> disorders in a patient comprising administering to said patient <u>in need thereof</u> a therapeutically effective amount of a compound of formula 1 as claimed in claim 4, or a pharmaceutically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.
- 23. (New) A compound of formula 1 according to claim 4, in which R1 and R2 together, and with inclusion of the two carbon atoms to which they are bonded, form a group selected from

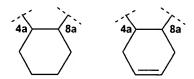
and in which the hydrogen atoms in the positions 4a and 8a are cis-configurated, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

- 24. (New) A compound of formula 1 according to claim 23 in which the absolute configuration is S in the position 4a and R in the position 8a, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.
- 25. (New) A compound of formula 1 according to claim 8, in which R1 and R2 together, and with inclusion of the two carbon atoms to which they are bonded, form a group selected from

and in which the hydrogen atoms in the positions 4a and 8a are cis-configurated, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

26. (New) A compound of formula 1 according to claim 25 in which the absolute configuration is S in the position 4a and R in the position 8a, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

27. (New) A compound of formula 1 according to claim 10, in which R1 and R2 together, and with inclusion of the two carbon atoms to which they are bonded, form a group selected from



and in which the hydrogen atoms in the positions 4a and 8a are cis-configurated, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

- 28. (New) A compound of formula 1 according to claim 27 in which the absolute configuration is S in the position 4a and R in the position 8a, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.
- 29. (New) A pharmaceutical composition comprising one or more compounds of formula 1 according to claim 4, together with a pharmaceutical auxiliary or excipient.

- 30. (New) A pharmaceutical composition comprising one or more compounds of formula 1 according to claim 8, together with a pharmaceutical auxiliary or excipient.
- 31. (New) A pharmaceutical composition comprising one or more compounds of formula 1 according to claim 10, together with a pharmaceutical auxiliary or excipient.
- 32. (New) A method for treating an illness treatable by the administration of a PDE4 inhibitor in a patient comprising administering to said patient in need thereof a therapeutically effective amount of a compound of formula 1 as claimed in claim 8, or a pharmaceutically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.
- 33. (New) A method for treating an illness treatable by the administration of a PDE4 inhibitor in a patient comprising administering to said patient in need thereof a therapeutically effective amount of a compound of formula 1 as claimed in claim 10, or a pharmaceutically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

- 34. (New) A method for treating an airway disorder in a patient comprising administering to said patient in need thereof a therapeutically effective amount of a compound of formula 1 as claimed in claim 8, or a pharmaceutically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.
- 35. (New) A method for treating an airway disorder in a patient comprising administering to said patient in need thereof a therapeutically effective amount of a compound of formula 1 as claimed in claim 10, or a pharmaceutically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.